

09/623,872

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STN- Structure Search
2.9.04

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:131085 CAPLUS

DOCUMENT NUMBER: 138:313920

TITLE: 2D Conformationally Sampled Pharmacophore: A
Ligand-Based Pharmacophore To Differentiate δ
Opioid Agonists from Antagonists

AUTHOR(S): Bernard, Denzil; Coop, Andrew; MacKerell, Alexander
D., Jr.

CORPORATE SOURCE: Department of Pharmaceutical Sciences, School of
Pharmacy, University of Maryland, Baltimore, MD,
21201, USA

SOURCE: Journal of the American Chemical Society (2003),
125(10), 3101-3107

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Pharmacophores are widely used for rational drug design and include those based on receptor binding sites or on known ligands. To date, ligand-based pharmacophores have typically used one or a small number of conformers of known receptor ligands. However, this method does not take into account the inherent dynamic nature of mols., which sample a wide range of conformations, any of which could be the bound form. In the present study, mol. dynamics (MD) simulations were used as a means to sample the conformational space of ligands to include all accessible conformers at room temperature in the development of a pharmacophore. On the basis of these conformers, probability distributions of selected distances and angles in a series of δ specific opioid ligands were obtained and correlated with agonist vs. antagonist activities. Individually, the distributions did not allow for unique agonist and antagonist pharmacophores to be identified. However, by extending the conformational anal. to two dimensions, a 2D conformationally sampled pharmacophore (CSP) for distinguishing δ receptor agonists and antagonists was developed. Application of this model to the compound DPI2505 suggests that it may have agonist activity. It is anticipated that the CSP method, which does not require alignment of compds. during pharmacophore development, will be a useful tool for obtaining structure-function relationships of ligands particularly in systems where the receptor 3D structure is not known.

IT 258267-76-4

RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)

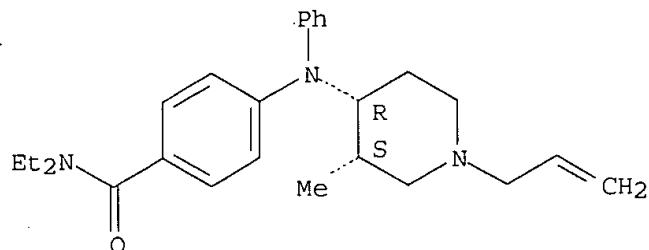
(2D conformationally sampled pharmacophore as ligand-based
pharmacophore to differentiate δ opioid agonists from
antagonists)

RN 258267-76-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3S,4R)-3-methyl-1-(2-propenyl)-4-piperidiny]phenylamino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

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REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:632801 CAPLUS

DOCUMENT NUMBER: 137:169426

TITLE: Preparation of 4-(diarylamino)piperidines as δ -opioid receptor agonists/antagonists.

INVENTOR(S): Carson, John R.; Susan, Carmosin Richard J.; Fitzpatrick, Louis J.; Reitz, Allen B.; Jetter, Michele C.

PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA

SOURCE: U.S., 13 pp.

CODEN: USXXAM

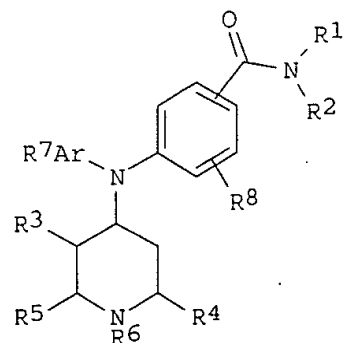
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6436959	B1	20020820	US 1998-220189	19981223
PRIORITY APPLN. INFO.:			US 1998-220189	19981223
OTHER SOURCE(S):		MARPAT 137:169426		
GI				



I

.AB Title compds. [I; Ar = Ph, naphthyl; R1, R2 = H, alkyl, (substituted) Ph, PhCH2; R1R2N = pyrrolidinyl, morpholinyl, piperidinyl, hexamethyleneiminyl; R3-R5 = H, alkyl; R6 = H, alkyl, cycloalkylalkyl, alkenyl, alkoxyalkyl, (substituted) thienylalkyl, furylalkyl, pyrrolylalkyl, oxazolylalkyl, etc.; R7 = 0-3 of OH, halo, alkyl, alkoxy, acyl, acyloxy, cyano, amino, acylamino, alkylthio, alkylsulfonyl, CF3, OCF3, etc.; R8 = 0-2 of halo, alkyl, alkoxy, CF3], were prepared Thus, N-(3-methoxyphenyl)-1-propyl-4-piperidinamine (preparation given),

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N,N-diethyl-4-bromobenzamide, tris(dibenzylideneacetone)dipalladium(0), (R)-(+)-2,2'-bis(diphenylphosphino)-1,1'-binaphthyl and NaOCMe₃ in PhMe were heated at 110° under Ar in a pressure vessel for 16 h to give 53% N,N-diethyl-4-[3-methoxyphenyl(1-propylpiperidin-4-yl)amino]benzamide fumarate (1:1). The latter gave 97% displacement of [3H]-bremazocine from δ -opioid receptors.

IT 229478-71-1P 229478-74-4P 229478-83-5P

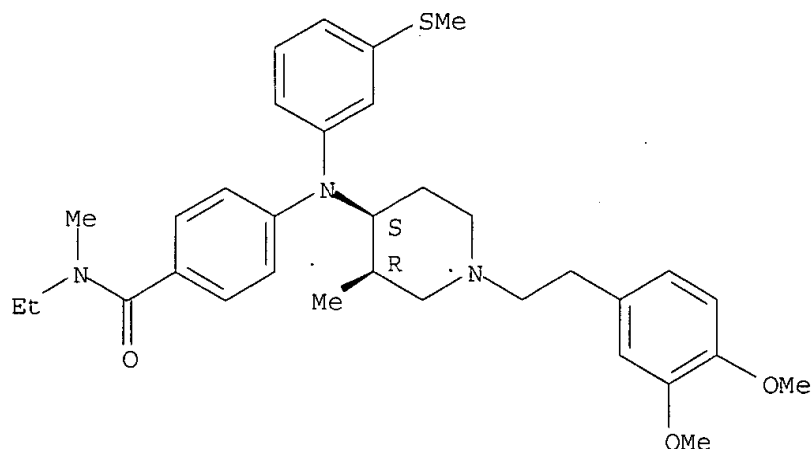
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-(diarylamino)piperidines as δ -opioid receptor agonists/antagonists)

RN 229478-71-1 CAPLUS

CN Benzamide, 4-[[[(3R,4S)-1-[2-(3,4-dimethoxyphenyl)ethyl]-3-methyl-4-piperidinyl][3-(methylthio)phenyl]amino]-N-ethyl-N-methyl-, rel- (9CI)
(CA INDEX NAME)

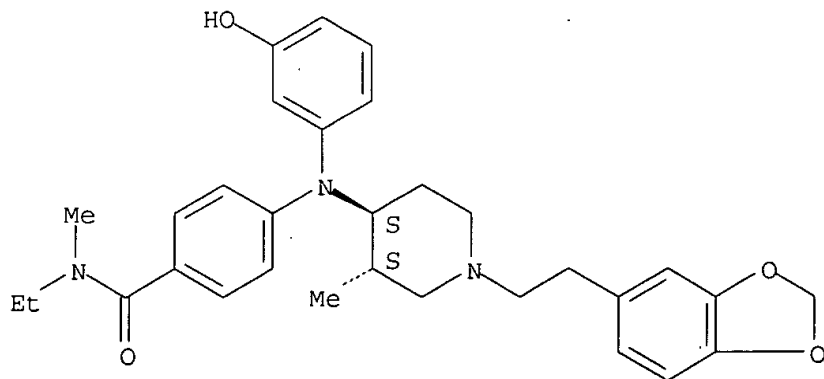
Relative stereochemistry.



RN 229478-74-4 CAPLUS

CN Benzamide, 4-[[[(3R,4R)-1-[2-(1,3-benzodioxol-5-yl)ethyl]-3-methyl-4-piperidinyl][3-hydroxyphenyl]amino]-N-ethyl-N-methyl-, rel- (9CI) (CA INDEX NAME)

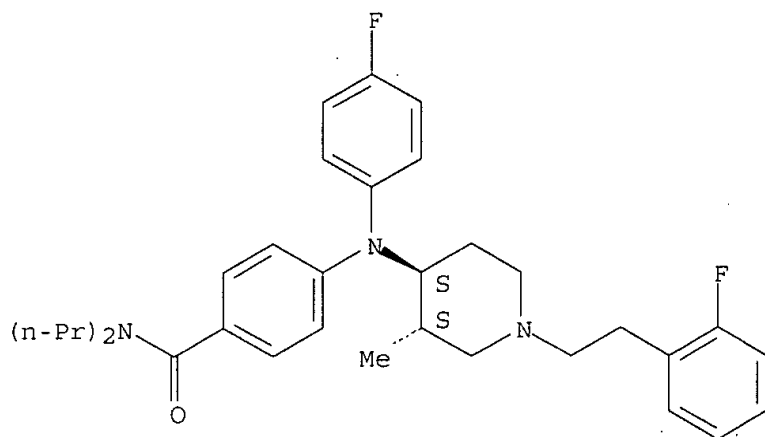
Relative stereochemistry.



RN 229478-83-5 CAPLUS

CN Benzamide, 4-[[[(4-fluorophenyl)[(3R,4R)-1-[2-(2-fluorophenyl)ethyl]-3-methyl-4-piperidinyl]amino]-N,N-dipropyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:342625 CAPLUS

DOCUMENT NUMBER: 135:147331

TITLE: Opioid peptide receptor studies. 15. Relative efficacy of 4-[(N-allyl-3-methyl-4-piperidinyl)phenylamino]-N,N-diethylbenzamide and related compounds at the cloned human δ -opioid receptor

AUTHOR(S): Xu, Heng; Lu, Yi-Feng; Thomas, James B.; Carroll, F. Ivy; Rice, Kenner C.; Rothman, Richard B.

CORPORATE SOURCE: CPS, NIDA, IRP, NIDA, NIH, Baltimore, MD, USA

SOURCE: Synapse (New York, NY, United States) (2001), 40(4), 269-274

CODEN: SYNAET; ISSN: 0887-4476

PUBLISHER: Wiley-Liss, Inc.,

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Previous data obtained from both binding and functional assays demonstrated that (-)-4-[(N-allyl-3-methyl-4-piperidinyl)phenylamino]-N,N-diethylbenzamide [(-)-RTI5989-54] displays selective binding and full agonist activity relative to (+)-RTI5989-54 for the δ opioid receptor. The present study was conducted to evaluate the activities of structurally diverse opioid receptor δ ligands in the [35 S]GTP- γ -S binding assay, comparing the relationship between receptor binding, activation, efficacy, and intrinsic efficacy. The data, obtained with cloned human δ receptors, demonstrated that (-)-RTI5989-54 behaves like the highly selective δ agonist SNC80. Addition of the hydroxyl group to RTI5989-54 (RTI5989-61) or replacement of the allyl group with the trans-crotyl group on the piperidine nitrogen of RTI-5989-61 (RTI5989-62) increased binding affinity, produced full agonist activity, and decreased intrinsic efficacy at the δ opioid receptor. The order of potency for the EC₅₀ (GTP- γ -S) was RTI5989-62 (0.20 nM) > RTI5989-61 (0.43 nM) > SNC80 (1.92 nM) > DPDPE (3.50 nM) > (-)-RTI5989-54 (17.6 nM) > (+)-RTI5989-54 (65.6 nM) > (+)-RTI5989-54 (483 nM). RTI5989-61 and RTI5989-62 were fully efficacious, but had intrinsic efficacy values that were 2.2-3.1 times lower than that of DPDPE and SNC80. Comparison of the binding K_i in competitively inhibiting [125 I]IOXY binding to the functional K_i for δ antagonists [K_i (IOXY)/K_i (GTP- γ -S)] shows that antagonists might antagonize agonist-evoked neurochem. effects with equal magnitude while occupying

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different proportions of target receptors.

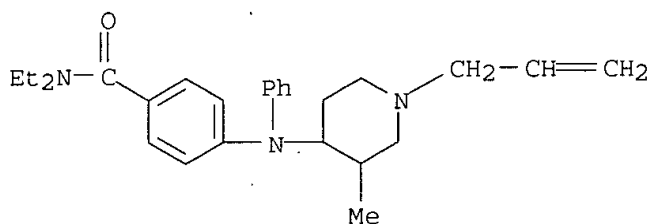
IT 258267-75-3 258267-76-4, (-)-RTI5989-54

258267-77-5 352462-65-8 352462-66-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(activity and efficacy of structurally diverse opioid receptor δ ligands)

RN 258267-75-3 CAPLUS

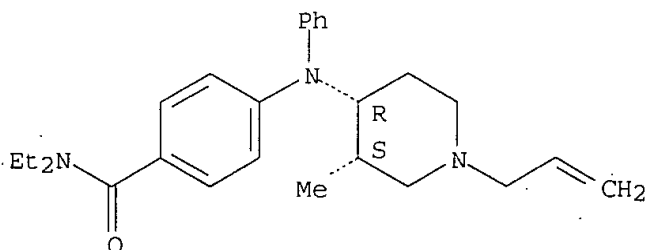
CN Benzamide, N,N-diethyl-4-[[3-methyl-1-(2-propenyl)-4-piperidiny]phenylamino]- (9CI) (CA INDEX NAME)



RN 258267-76-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3S,4R)-3-methyl-1-(2-propenyl)-4-piperidiny]phenylamino]- (9CI) (CA INDEX NAME)

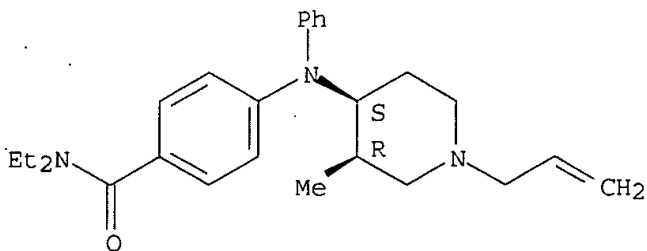
Absolute stereochemistry. Rotation (-).



RN 258267-77-5 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3R,4S)-3-methyl-1-(2-propenyl)-4-piperidiny]phenylamino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

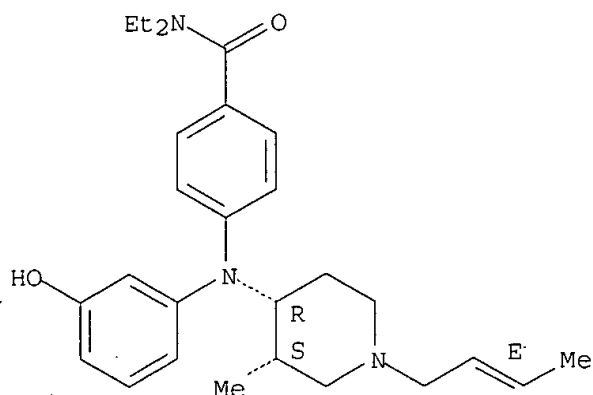


RN 352462-65-8 CAPLUS

CN Benzamide, 4-[[[(3S,4R)-1-(2E)-2-butenyl-3-methyl-4-piperidiny] (3-hydroxyphenyl)amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

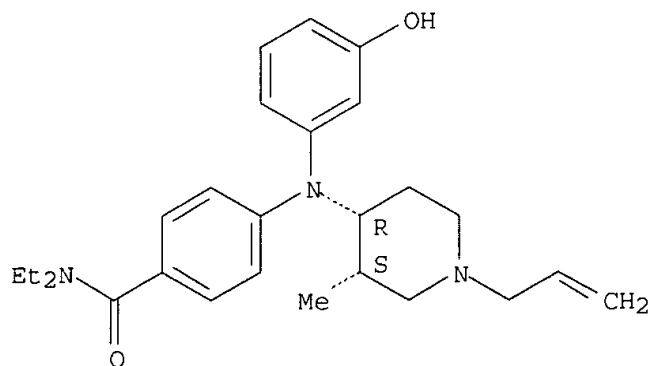
09/623,872



RN 352462-66-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)((3S,4R)-3-methyl-1-(2-propenyl)-4-piperidinyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:68711 CAPLUS

DOCUMENT NUMBER: 134:260870

TITLE: Factors influencing agonist potency and selectivity for the opioid δ receptor are revealed in structure-activity relationship studies of the 4-[(N-Substituted-4-piperidinyl)arylamino]-N,N-diethylbenzamides

AUTHOR(S): Thomas, James B.; Herault, Xavier M.; Rothman, Richard B.; Atkinson, Robert N.; Burgess, Jason P.; Mascarella, S. Wayne; Dersch, Christina M.; Xu, Heng; Flippen-Anderson, Judith L.; George, Clifford F.; Carroll, F. Ivy

CORPORATE SOURCE: Chemistry and Life Sciences, Research Triangle Institute, Research Triangle Park, NC, 27709, USA

SOURCE: Journal of Medicinal Chemistry (2001), 44(6), 972-987
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A study of the effect of transposition of the internal nitrogen atom for

the adjacent benzylic carbon atom in δ -selective agonists such as BW373U86 and SNC-80 has been undertaken. It was shown that high-affinity, fully efficacious, and δ opioid receptor-selective compds. can be obtained from this transposition. In addition to the N,N-diethylamido group needed as the δ address, the structural features identified to promote δ receptor affinity in the set of compds. studied included a cis relative stereochem. between the 3- and 4-substituents in the piperidine ring, a trans-crotyl or allyl substituent on the basic nitrogen, the lack of a 2-Me group in the piperidine ring, and either no substitution or hydroxyl substitution in the aryl ring not substituted with the N,N-diethylamido group. Structural features found to be important for μ affinity include hydroxyl substitution in the aryl ring, the presence of a 2-Me group in a cis relative relationship to the 4-amino group as well as N-substituents such as cyclopropylmethyl. It was also determined that μ receptor affinity could be increased while maintaining δ receptor affinity, especially when hydroxyl-substituted compds. are considered. Addnl., it was discovered that the somewhat lower μ/δ selectivities observed for the piperidine compds. relative to the piperazine-based ligands appear to arise as a consequence of the carbon-nitrogen transposition which imparts an overall lower δ and higher μ affinity to the piperidine-based ligands. This higher affinity for the μ receptor, apparently intrinsic to the piperidine-based compds., suggests that ligands of this class will more easily be converted to μ/δ combination agonists compared to the piperazine ligands such as BW373U86. This is particularly important since analogs of BW373U86, which show both μ - and δ -type activity, are now recognized as important for their strong analgesia and cross-canceling of many of the side effects found in agonists operating exclusively from either the δ or μ opioid receptor.

IT 244048-67-7P 331846-45-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

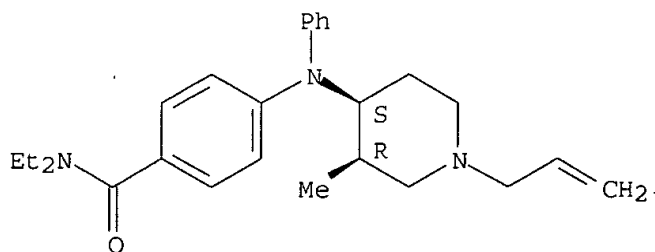
(preparation and structure-activity relationship studies of analgesic effects of selective opioid δ receptor agonists,

4-[(N-Substituted-4-piperidiny]arylamino]-N,N-diethylbenzamides)

RN 244048-67-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3R,4S)-3-methyl-1-(2-propenyl)-4-piperidiny]phenylamino]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



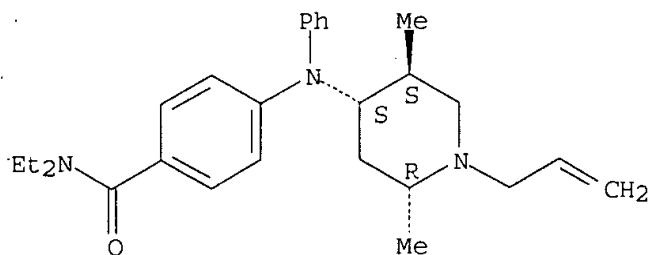
HCl

RN 331846-45-8 CAPLUS

CN Benzamide, 4-[[[(2R,4S,5S)-2,5-dimethyl-1-(2-propenyl)-4-piperidiny]phenylamino]-N,N-diethyl-, rel- (9CI) (CA INDEX NAME)

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Relative stereochemistry.



IT 331846-52-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

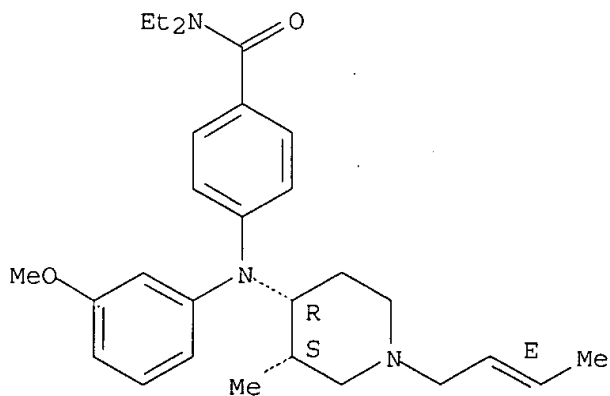
(preparation and structure-activity relationship studies of analgesic effects of selective opioid δ receptor agonists, 4-[(N-Substituted-4-piperidinyl)arylamino]-N,N-diethylbenzamides)

RN 331846-52-7 CAPLUS

CN Benzamide, 4-[[[(3R,4S)-1-(2E)-2-butenyl-3-methyl-4-piperidinyl](3-methoxyphenyl)amino]-N,N-diethyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.



● HCl

IT 229478-48-2P 244048-63-3P 244048-65-5P
244048-68-8P 258267-76-4P 258267-77-5P
331846-43-6P 331846-44-7P 331846-46-9P
331846-47-0P 331846-48-1P 331846-49-2P
331846-50-5P 331846-51-6P 331846-53-8P
331846-54-9P 331846-55-0P 331846-56-1P
331846-57-2P 331846-58-3P 331846-59-4P
331846-60-7P 331846-61-8P 331846-62-9P
331846-63-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and structure-activity relationship studies of analgesic

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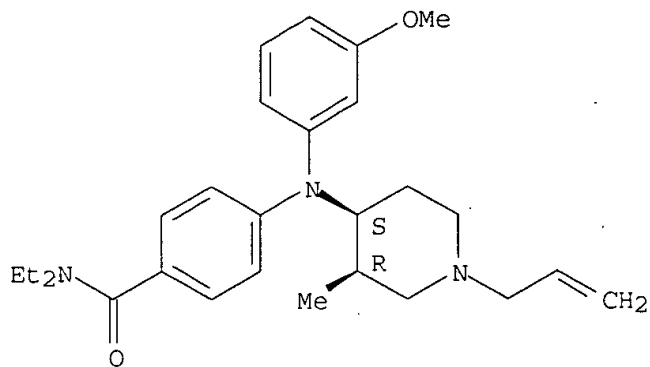
effects of selective opioid δ receptor agonists,

4-[(N-Substituted-4-piperidinyl)arylamino]-N,N-diethylbenzamides)

RN 229478-48-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[(3R,4S)-3-methyl-1-(2-propenyl)-4-piperidinyl]amino]-, rel- (9CI) (CA INDEX NAME)

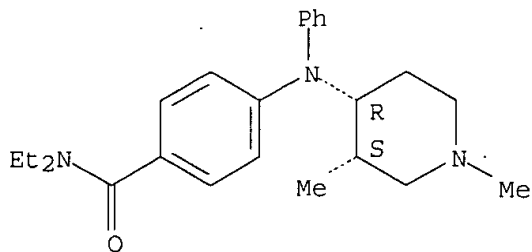
Relative stereochemistry.



RN 244048-63-3 CAPLUS

CN Benzamide, 4-[[[(3R,4S)-1,3-dimethyl-4-piperidinyl]phenylamino]-N,N-diethyl-, rel- (9CI) (CA INDEX NAME)

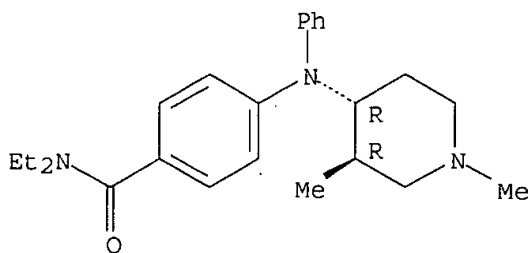
Relative stereochemistry.



RN 244048-65-5 CAPLUS

CN Benzamide, 4-[[[(3R,4R)-1,3-dimethyl-4-piperidinyl]phenylamino]-N,N-diethyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

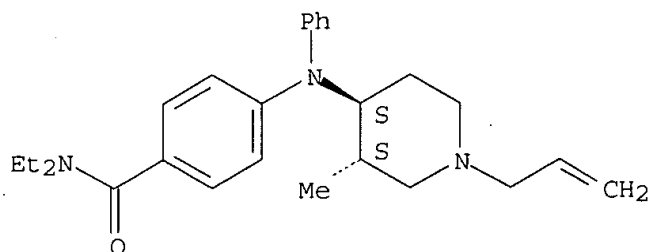


RN 244048-68-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3R,4R)-3-methyl-1-(2-propenyl)-4-piperidinyl]phenylamino]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

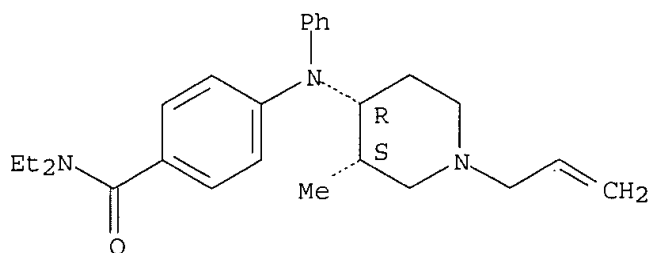
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● HCl

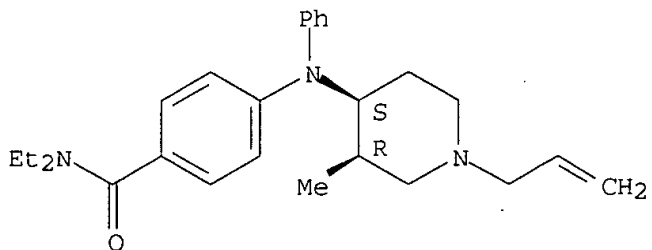
RN 258267-76-4 CAPLUS
CN Benzamide, N,N-diethyl-4-[[[(3S,4R)-3-methyl-1-(2-propenyl)-4-piperidiny]phenylamino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 258267-77-5 CAPLUS
CN Benzamide, N,N-diethyl-4-[[[(3R,4S)-3-methyl-1-(2-propenyl)-4-piperidiny]phenylamino]- (9CI) (CA INDEX NAME)

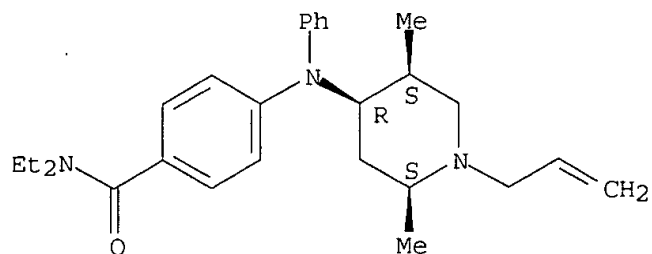
Absolute stereochemistry. Rotation (+).



RN 331846-43-6 CAPLUS
CN Benzamide, 4-[[[(2R,4S,5R)-2,5-dimethyl-1-(2-propenyl)-4-piperidiny]phenylamino]-N,N-diethyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

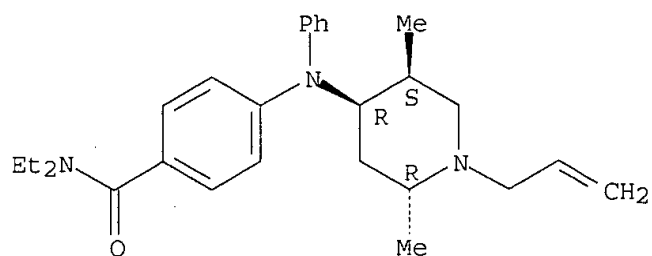
09/623,872



RN 331846-44-7 CAPLUS

CN Benzamide, 4-[[[(2R,4R,5S)-2,5-dimethyl-1-(2-propenyl)-4-piperidinyl]phenylamino]-N,N-diethyl-, rel- (9CI) (CA INDEX NAME)

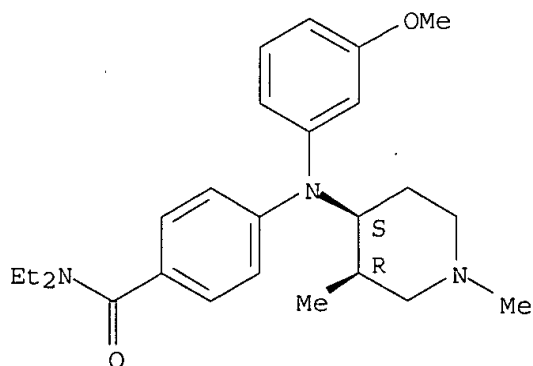
Relative stereochemistry.



RN 331846-46-9 CAPLUS

CN Benzamide, 4-[[[(3R,4S)-1,3-dimethyl-4-piperidinyl](3-methoxyphenyl)amino]-N,N-diethyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

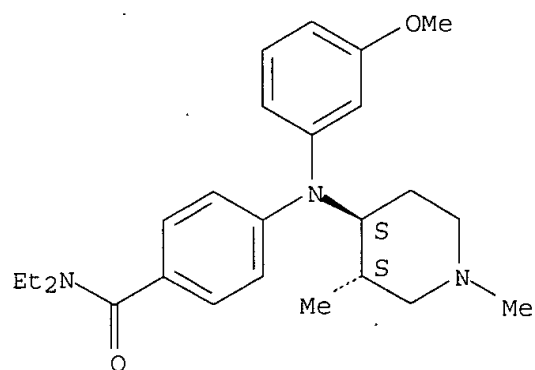


RN 331846-47-0 CAPLUS

CN Benzamide, 4-[[[(3R,4R)-1,3-dimethyl-4-piperidinyl](3-methoxyphenyl)amino]-N,N-diethyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

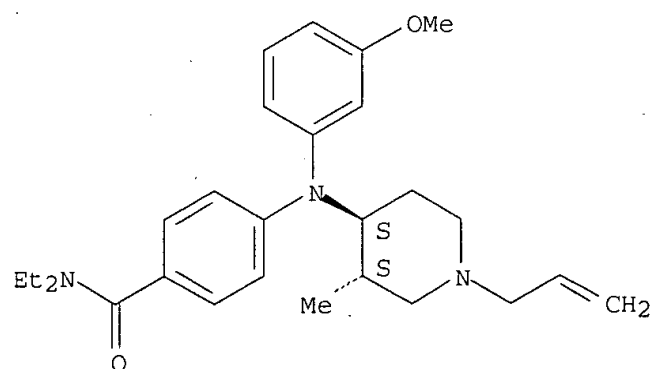
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RN 331846-48-1 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[(3R,4R)-3-methyl-1-(2-propenyl)-4-piperidinyl]amino]-, rel- (9CI) (CA INDEX NAME)

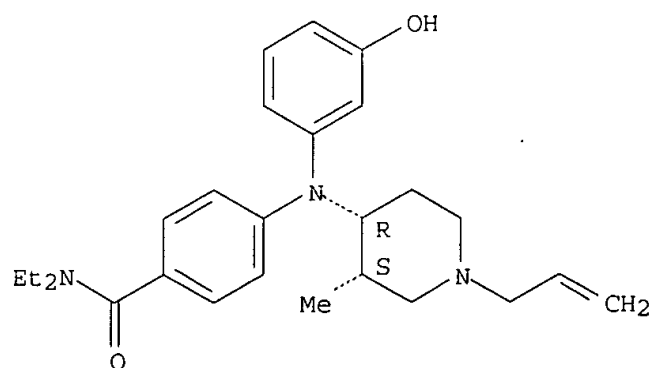
Relative stereochemistry.



RN 331846-49-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[(3R,4S)-3-methyl-1-(2-propenyl)-4-piperidinyl]amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

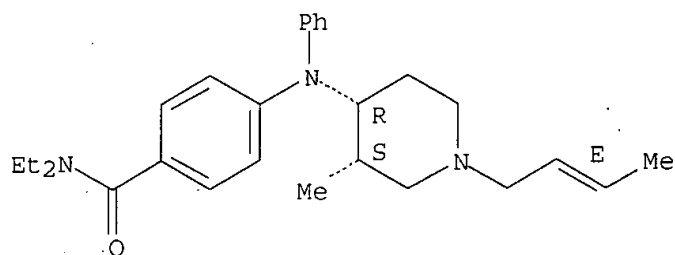


RN 331846-50-5 CAPLUS

CN Benzamide, 4-[[[(3R,4S)-1-(2E)-2-butenyl-3-methyl-4-piperidinyl]phenylamino]-N,N-diethyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

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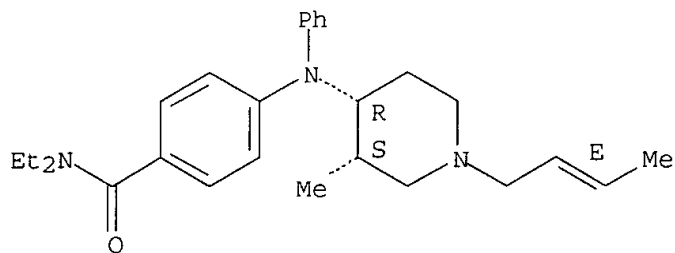
Relative stereochemistry.
Double bond geometry as shown.



● HCl

RN 331846-51-6 CAPLUS
CN Benzamide, 4-[[(3S,4R)-1-(2E)-2-butenyl-3-methyl-4-piperidiny]phenylamino]-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

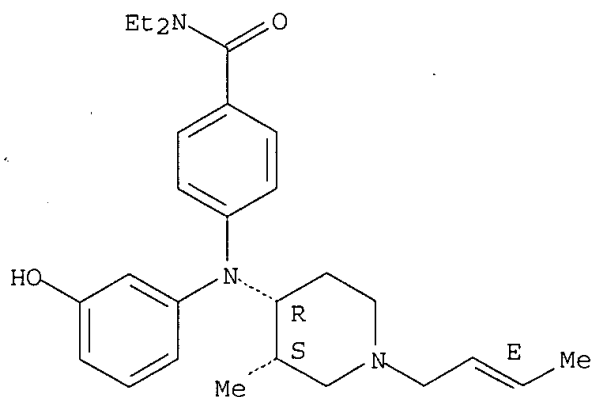


● HCl

RN 331846-53-8 CAPLUS
CN Benzamide, 4-[[(3R,4S)-1-(2E)-2-butenyl-3-methyl-4-piperidiny] (3-hydroxyphenyl)amino]-N,N-diethyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown..

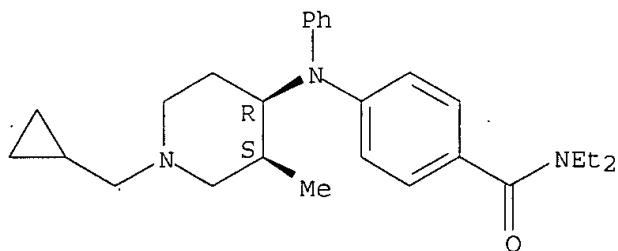
09/623,872



● HCl

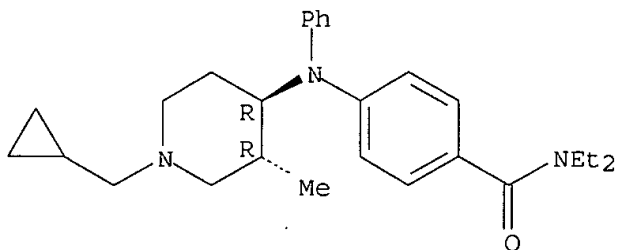
RN 331846-54-9 CAPLUS
CN Benzamide, 4-[[[(3R,4S)-1-(cyclopropylmethyl)-3-methyl-4-piperidiny]phenylamino]-N,N-diethyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 331846-55-0 CAPLUS
CN Benzamide, 4-[[[(3R,4R)-1-(cyclopropylmethyl)-3-methyl-4-piperidiny]phenylamino]-N,N-diethyl-, rel- (9CI) (CA INDEX NAME)

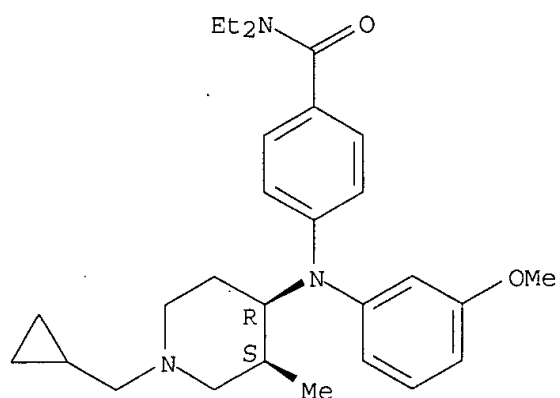
Relative stereochemistry.



RN 331846-56-1 CAPLUS
CN Benzamide, 4-[[[(3R,4S)-1-(cyclopropylmethyl)-3-methyl-4-piperidiny](3-methoxyphenyl)amino]-N,N-diethyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09/623,872

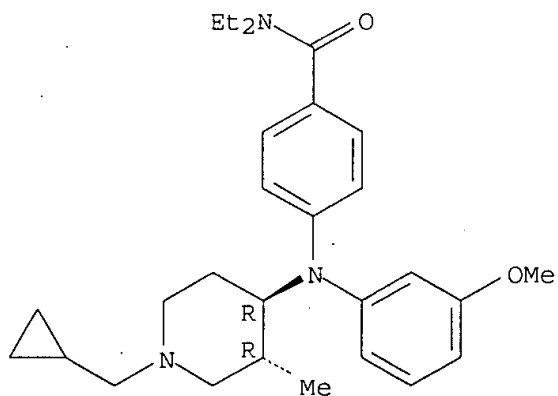


● HCl

RN 331846-57-2 CAPLUS

CN Benzamide, 4-[[[(3R,4R)-1-(cyclopropylmethyl)-3-methyl-4-piperidinyl](3-methoxyphenyl)amino]-N,N-diethyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



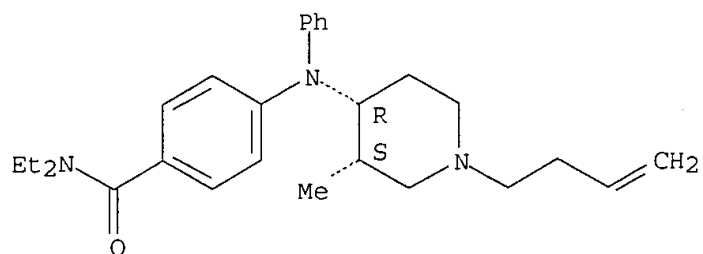
● HCl

RN 331846-58-3 CAPLUS

CN Benzamide, 4-[[[(3R,4S)-1-(3-butenyl)-3-methyl-4-piperidinyl]phenylamino]-N,N-diethyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09/623,872

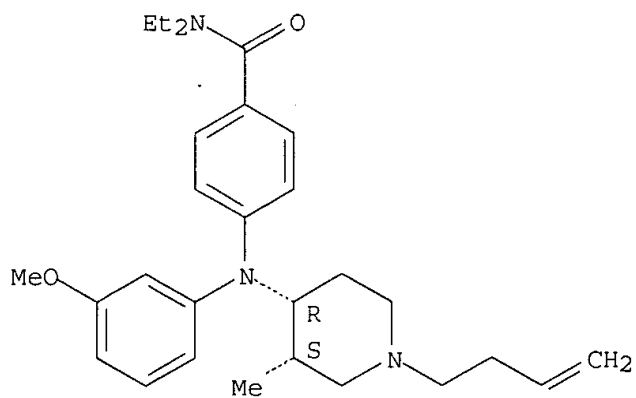


● HCl

RN 331846-59-4 CAPLUS

CN Benzamide, 4-[[[(3R,4S)-1-(3-butenyl)-3-methyl-4-piperidiny]](3-methoxyphenyl)amino]-N,N-diethyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



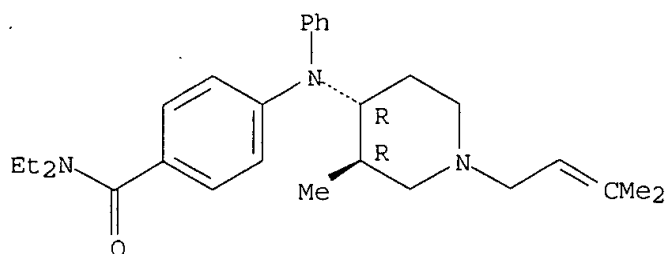
● HCl

RN 331846-60-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3R,4R)-3-methyl-1-(3-methyl-2-butenyl)-4-piperidiny]]phenylamino]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09/623,872

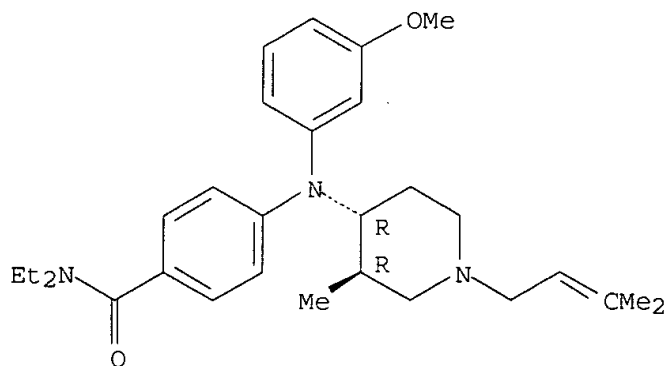


● HCl

RN 331846-61-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[(3R,4R)-3-methyl-1-(3-methyl-2-butenyl)-4-piperidinyl]amino]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

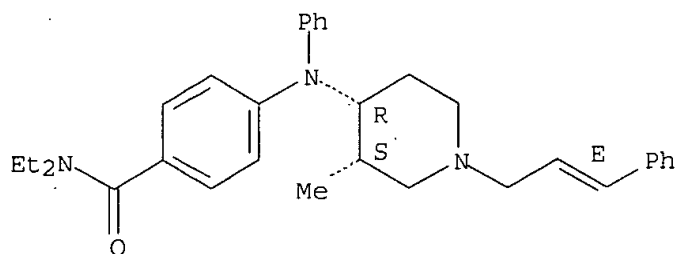
RN 331846-62-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3R,4S)-3-methyl-1-[(2E)-3-phenyl-2-propenyl]-4-piperidinyl]phenylamino]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.

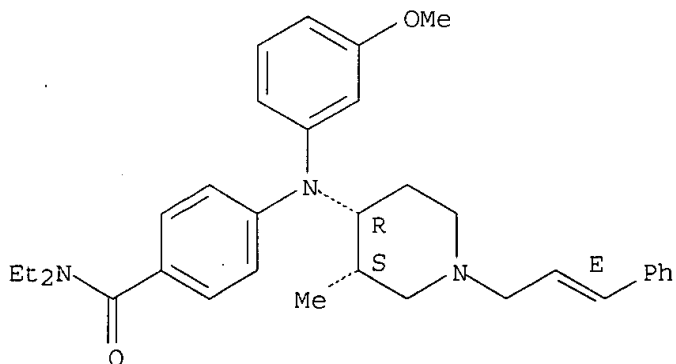
09/623,872



● HCl

RN 331846-63-0 CAPLUS
CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[(3R,4S)-3-methyl-1-[(2E)-3-phenyl-2-propenyl]-4-piperidinyl]amino]-, monohydrochloride, rel- (9CI)
(CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



● HCl

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:419974 CAPLUS

DOCUMENT NUMBER: 133:193302

TITLE: 4-[(8-Alkyl-8-azabicyclo[3.2.1]octyl-3-yl)-3-arylanilino]-N,N-diethylbenzamides: high affinity, selective ligands for the delta opioid receptor illustrate factors important to antagonist activity

AUTHOR(S): Thomas, James B.; Atkinson, Robert N.; Rothman, Richard B.; Burgess, Jason P.; Mascarella, S. Wayne; Dersch, Christina M.; Xu, Heng; Carroll, F. Ivy

CORPORATE SOURCE: Chemistry and Life Sciences, Research Triangle Institute, Research Triangle Park, NC, 27709, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(11), 1281-1284

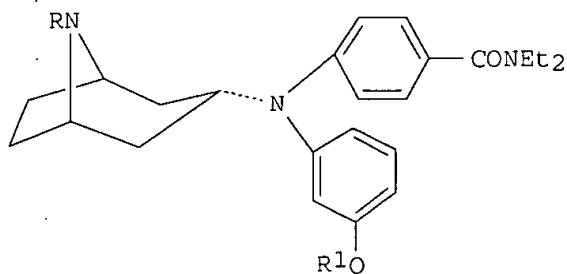
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

09/623,872

DOCUMENT TYPE:
LANGUAGE:
GI

Journal
English



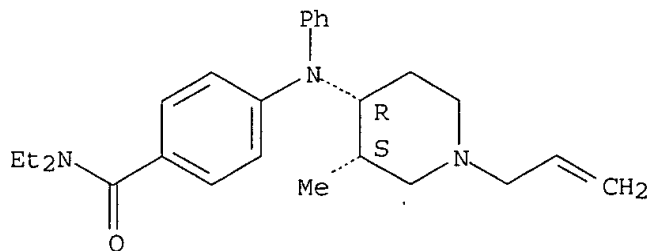
AB The tropane derived compds., 4-[(8-alkyl-8-azabicyclo[3.2.1]octyl-3-yl)-3-arylanilino]-N,N-diethylbenzamides I (R = allyl, cis-crotyl, prenyl, R1 = Me; R = allyl, R1 = H), were synthesized and found to have high affinity and selectivity for the δ receptor. I are structurally similar to the full agonist (-)-RTI-5989-54; yet, efficacy studies for compds. in this series I reveal greatly diminished agonist activity as well as antagonism not found in piperidine-based compds. like (-)-RTI-5989-54.

IT **258267-76-4**, (-)-RTI 5989-54
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of 4-[(8-alkyl-8-azabicyclo[3.2.1]octyl-3-yl)-3-arylanilino]-N,N-diethylbenzamides as high affinity, selective ligands for delta opioid receptor illustrate factors important to antagonist activity)

RN 258267-76-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3S,4R)-3-methyl-1-(2-propenyl)-4-piperidinyl]phenylamino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:810824 CAPLUS

DOCUMENT NUMBER: 132:146560

TITLE: Optically pure (-)-4-[(N-allyl-3-methyl-4-piperidinyl)phenylamino]-N,N-diethylbenzamide displays selective binding and full agonist activity for the δ opioid receptor

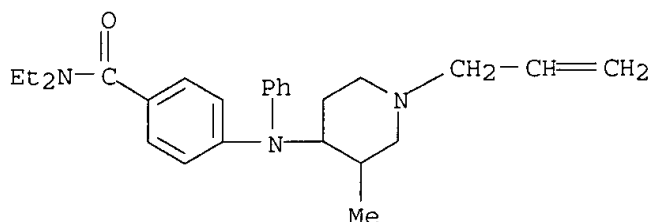
AUTHOR(S): Thomas, James B.; Atkinson, Robert N.; Herault, Xavier M.; Rothman, Richard B.; Mascarella, S. Wayne; Dersch,

Christina M.; Xu, Heng; Horel, Rob B.; Carroll, F. Ivy
 CORPORATE SOURCE: Chemistry and Life Sciences, Research Triangle
 Institute, Research Triangle Park, NC, 27709, USA
 SOURCE: Bioorganic & Medicinal Chemistry Letters (1999),
 9(23), 3347-3350
 CODEN: BMCLE8; ISSN: 0960-894X
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The optical isomers of 4-[(N-allyl-3-methyl-4-piperidiny]phenylamino]-N,N-diethylbenzamide (I) have been prepared and tested in both binding and functional assays. The data show that (-)-I is responsible for the δ opioid activity demonstrated by the racemic material. This compound displays a binding affinity of 5.5 nM for the δ opioid receptor as well as a 470-fold δ vs. μ selectivity. Importantly, (-)-I is a full agonist at the δ receptor in comparison with SNC-80. Taken together, the data suggest that (-)-3 behaves more like the prototypical δ agonists, BW373U86 or SNC-80, and less like the peptidomimetic compound SL-3111.

IT **258267-75-3**
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (optically pure (-)-[(N-allylmethylpiperidiny]phenylamino]ethylbenzamide displays selective binding and full agonist activity for δ opioid receptor)

RN 258267-75-3 CAPLUS
 CN Benzamide, N,N-diethyl-4-[[3-methyl-1-(2-propenyl)-4-piperidiny]phenylamino]- (9CI) (CA INDEX NAME)

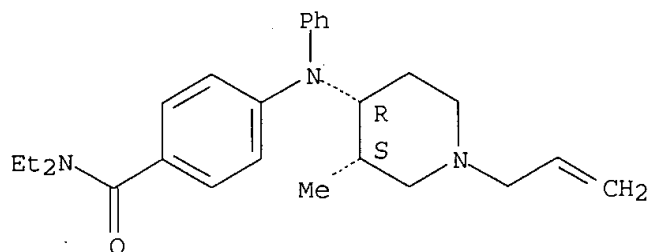


IT **258267-76-4P 258267-77-5P**
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
 (optically pure (-)-[(N-allylmethylpiperidiny]phenylamino]ethylbenzamide displays selective binding and full agonist activity for δ opioid receptor)

RN 258267-76-4 CAPLUS
 CN Benzamide, N,N-diethyl-4-[[3-methyl-1-(2-propenyl)-4-piperidiny]phenylamino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

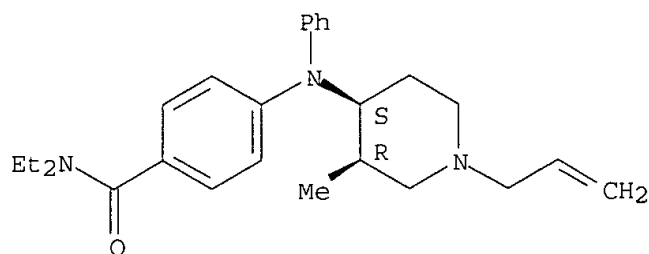
09/623,872



RN 258267-77-5 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3R,4S)-3-methyl-1-(2-propenyl)-4-piperidinyl]phenylamino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:716693 CAPLUS

DOCUMENT NUMBER: 132:78452

TITLE: (+)-4-[(N-allyl-cis-3-methyl-4-piperidinyl)phenylamino]-N,N-diethylbenzamide displays selective binding for the delta opioid receptor

AUTHOR(S): Thomas, James B.; Herault, Xavier M.; Rothman, Richard B.; Burgess, Jason P.; Mascarella, S. Wayne; Xu, Heng; Horel, Robert B.; Dersch, Christina M.; Carroll, F. Ivy

CORPORATE SOURCE: Chemistry and Life Sciences, Research Triangle Institute, Research Triangle Park, NC, 27709, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(20), 3053-3056

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Racemic 4-[(N-allyl-cis-3-methyl-4-piperidinyl)phenylamino]-N,N-diethylbenzamide (I) was synthesized and found to have good affinity and selectivity for the δ receptor. This compound can be viewed as an analog of BW373U86 and SNC-80 where an internal piperazine nitrogen has been transposed with a benzylic carbon. Functionally, I behaves as an agonist at the δ receptor with no measurable stimulation of either the μ or κ receptor subtypes and is devoid of any measurable amount of antagonist activity for any opioid receptor. A comparison of I to SNC-80 and DPDPE in the [35S]GTP γ S functional assay suggests that I may be more like the peptide DPDPE.

IT 229478-44-8P 229478-56-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

09/623,872

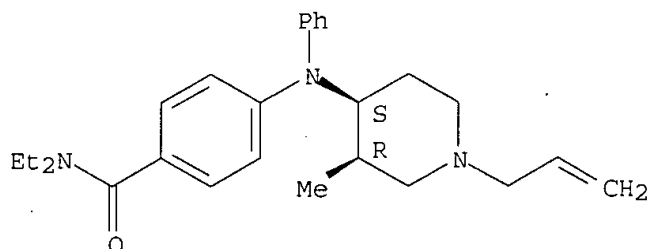
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

((±)-4-[(N-allyl-cis-3-methyl-4-piperidiny]phenylamino]-N,N-diethylbenzamide as selective delta opioid agonist)

RN 229478-44-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3R,4S)-3-methyl-1-(2-propenyl)-4-piperidiny]phenylamino]-, rel- (9CI) (CA INDEX NAME)

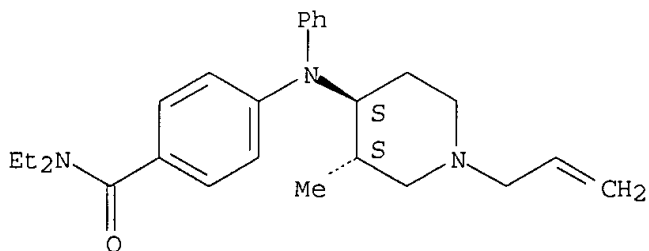
Relative stereochemistry.



RN 229478-56-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3R,4R)-3-methyl-1-(2-propenyl)-4-piperidiny]phenylamino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 244048-63-3P 244048-65-5P

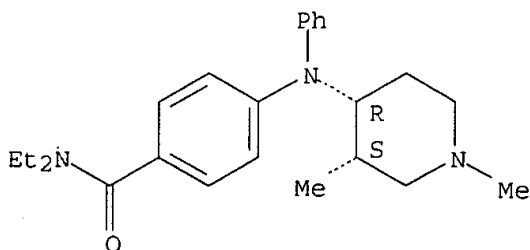
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

((±)-4-[(N-allyl-cis-3-methyl-4-piperidiny]phenylamino]-N,N-diethylbenzamide as selective delta opioid agonist)

RN 244048-63-3 CAPLUS

CN Benzamide, 4-[[[(3R,4S)-1,3-dimethyl-4-piperidiny]phenylamino]-N,N-diethyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



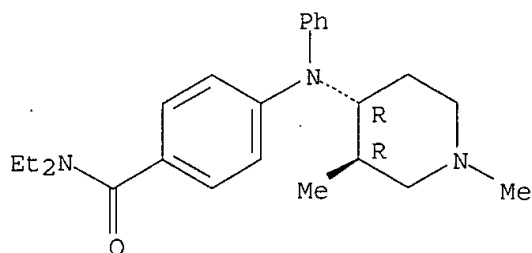
RN 244048-65-5 CAPLUS

CN Benzamide, 4-[[[(3R,4R)-1,3-dimethyl-4-piperidiny]phenylamino]-N,N-diethyl-

09/623,872

, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:594935 CAPLUS

DOCUMENT NUMBER: 131:228652

TITLE: Preparation of substituted piperidines for pharmaceutical use as opioid antagonists

INVENTOR(S): Carroll, Frank Ivy

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 171 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9945925	A1	19990916	WO 1999-US5131	19990309
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2324418	AA	19990916	CA 1999-2324418	19990309
AU 9930738	A1	19990927	AU 1999-30738	19990309
AU 756983	B2	20030130		
EP 1061919	A1	20001227	EP 1999-912345	19990309
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
JP 2002506032	T2	20020226	JP 2000-535340	19990309
US 2002165396	A1	20021107	US 2002-100097	20020319
US 6552032	B2	20030422		
US 2002169324	A1	20021114	US 2002-100096	20020319
US 6593348	B2	20030715		
US 2002193602	A1	20021219	US 2002-99948	20020319
US 6531481	B2	20030311		
US 2003158415	A1	20030821	US 2002-266774	20021009

PRIORITY APPLN. INFO.:

US 1998-77402P	P	19980310
US 1998-107902P	P	19981110
WO 1999-US5131	W	19990309
US 2000-623872	A3	20001127

09/623,872

US 2002-99948

A1 20020319

OTHER SOURCE(S):
GI

MARPAT 131:228652

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Piperidine containing heterocyclic compds. I [R1, R2 = H, alkyl, aryl, arylalkyl; R3 = alkyl, cycloalkyl, aryl, arylalkyl, etc.], II [R1 = alkyl, arylalkyl; R3, R4, R5, R6 = H, OH, NH2, CN, CF3, CN, NO2, alkyl, alkyloxy, halogen, amino, etc.; R7 = H, alkyl], and III [R1 = alkyl, arylalkyl; R2 = H, NH2, :O, alkyl, arylalkyl, amino, etc.] were prepared for use as opioid antagonists to treat a variety of disease states which involve the opioid receptors. Thus, the hydrochloride salt of piperidine IV [R3 = (CH2)2C6H4-4-OH], i.e. RTI 5989-29, was prepared starting from (+)-(3R,4R)-dimethyl-4-(3-hydroxyphenyl)piperidine, N-(tert-butoxycarbonyl)-L-valine, and 3-(4-hydroxyphenyl)propanoic acid. The prepared heterocyclic compds. containing a piperidine subunit were tested for κ -, μ -, and δ -opioid receptor binding activity.

IT 229478-43-7P 229478-44-8P 229478-56-2P
244048-63-3P 244048-65-5P

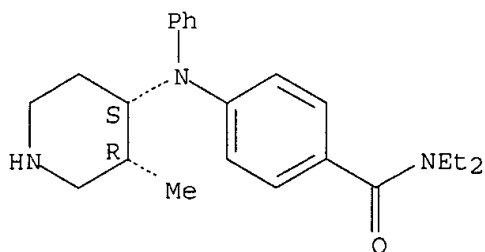
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heterocyclic compds. containing a piperidine subunit for pharmaceutical use as opioid antagonists)

RN 229478-43-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3R,4S)-3-methyl-4-piperidinyl]phenylamino]-, rel- (9CI) (CA INDEX NAME)

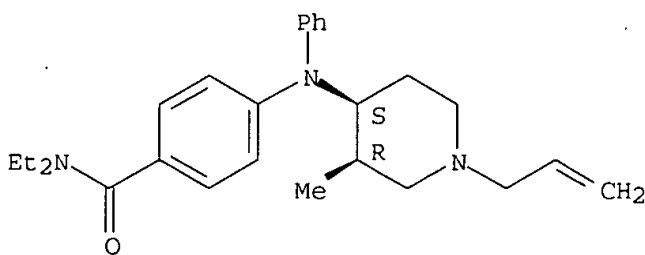
Relative stereochemistry.



RN 229478-44-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3R,4S)-3-methyl-1-(2-propenyl)-4-piperidinyl]phenylamino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

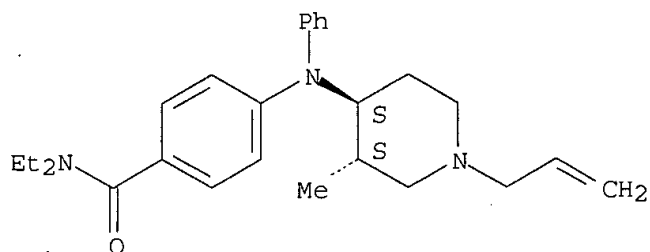


09/623,872

RN 229478-56-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3R,4R)-3-methyl-1-(2-propenyl)-4-piperidiny]phenylamino]-, rel- (9CI) (CA INDEX NAME)

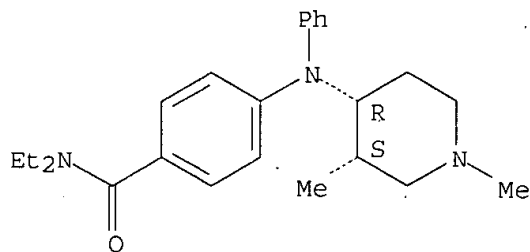
Relative stereochemistry.



RN 244048-63-3 CAPLUS

CN Benzamide, 4-[[[(3R,4S)-1,3-dimethyl-4-piperidiny]phenylamino]-N,N-diethyl-, rel- (9CI) (CA INDEX NAME)

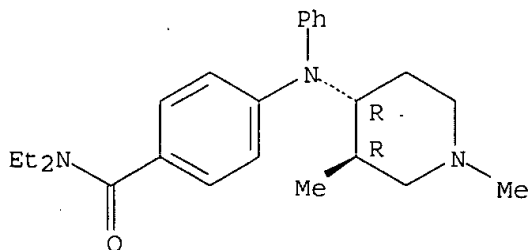
Relative stereochemistry.



RN 244048-65-5 CAPLUS

CN Benzamide, 4-[[[(3R,4R)-1,3-dimethyl-4-piperidiny]phenylamino]-N,N-diethyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 244048-64-4P 244048-66-6P 244048-67-7P

244048-68-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

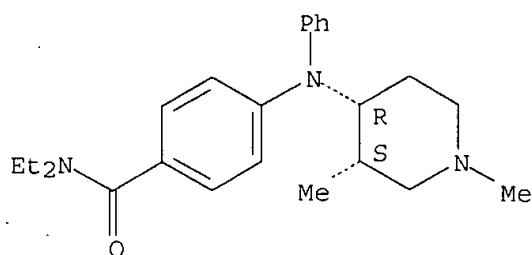
(preparation of heterocyclic compds. containing a piperidine subunit for pharmaceutical use as opioid antagonists)

RN 244048-64-4 CAPLUS

CN Benzamide, 4-[[[(3R,4S)-1,3-dimethyl-4-piperidiny]phenylamino]-N,N-diethyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

09/623,872

Relative stereochemistry.

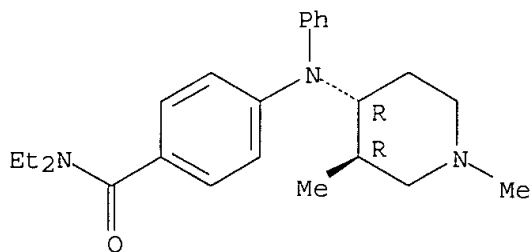


● HCl

RN 244048-66-6 CAPLUS

CN Benzamide, 4-[[[(3R,4R)-1,3-dimethyl-4-piperidiny]phenylamino]-N,N-diethyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

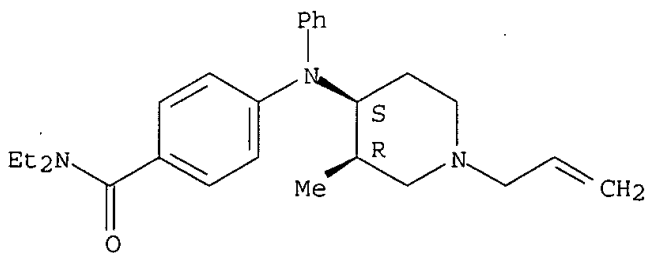


● HCl

RN 244048-67-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3R,4S)-3-methyl-1-(2-propenyl)-4-piperidiny]phenylamino]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



HCl

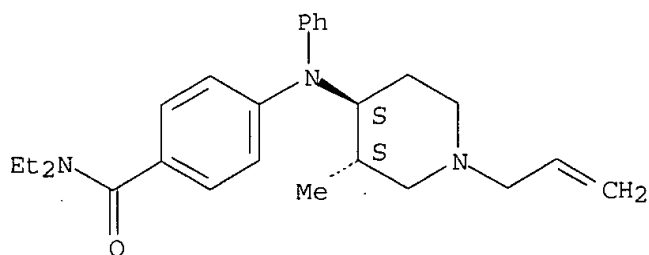
RN 244048-68-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3R,4R)-3-methyl-1-(2-propenyl)-4-

09/623,872

piperidinyl]phenylamino]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

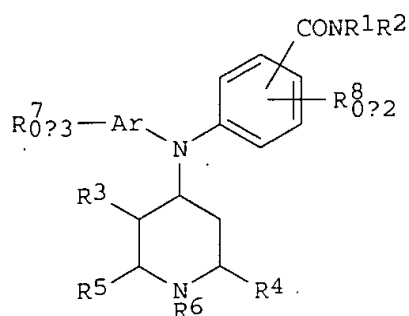


● HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:460403 CAPLUS
DOCUMENT NUMBER: 131:87826
TITLE: Preparation of 4-[aryl(piperidin-4-yl)]aminobenzamides which bind to the delta-opioid receptor
INVENTOR(S): Carson, John R.; Carmosin, Richard J.; Fitzpatrick, Louis J.; Reitz, Allen B.; Jetter, Michele C.
PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9933806	A1	19990708	WO 1998-US27350	19981223
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2316341	AA	19990708	CA 1998-2316341	19981223
AU 9920097	A1	19990719	AU 1999-20097	19981223
ZA 9811842	A	20000623	ZA 1998-11842	19981223
EP 1049676	A1	20001108	EP 1998-964871	19981223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001527068	T2	20011225	JP 2000-526490	19981223
TW 476755	B	20020221	TW 1998-87121577	19990211
PRIORITY APPLN. INFO.:			US 1997-68794P	P 19971224
			WO 1998-US27350	W 19981223
OTHER SOURCE(S):		MARPAT 131:87826		
GI				



AB 4-[Aryl(piperidin-4-yl)]aminobenzamides I [Ar = Ph, 1-naphthyl, 2-naphthyl; R1, R2 = H, alkyl, Ph, PhCH2, etc.; R3, R4, R5 = H, alkyl; R6 = H, alkyl, alkenyl, etc.; R7 = OH, halo, alkoxy, etc.; R8 = halo, alkyl, alkoxy, CF3], δ -opioid receptor agonists/antagonists, were prepared
E.g., N,N-diethyl-4-[3-methoxyphenyl(1-propylpiperidin-4-yl)amino]benzamide fumarate was prepared As delta-opioid receptor agonists, such compds. are useful as analgesics.

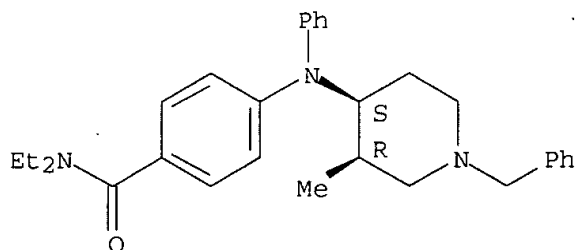
IT 229478-42-6P 229478-43-7P 229478-44-8P
229478-45-9P 229478-46-0P 229478-47-1P
229478-48-2P 229478-50-6P 229478-52-8P
229478-55-1P 229478-56-2P 229478-57-3P
229478-71-1P 229478-74-4P 229478-80-2P
229478-83-5P 229478-86-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and δ -opioid receptor binding of
[aryl(piperidinyl)]aminobenzamides)

RN 229478-42-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3R,4S)-3-methyl-1-(phenylmethyl)-4-piperidinyl]phenylamino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

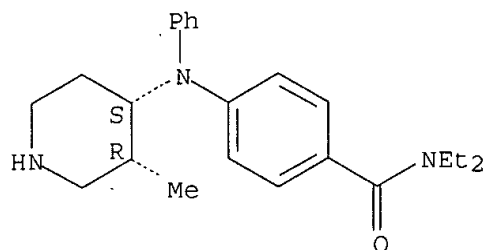


RN 229478-43-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3R,4S)-3-methyl-4-piperidinyl]phenylamino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

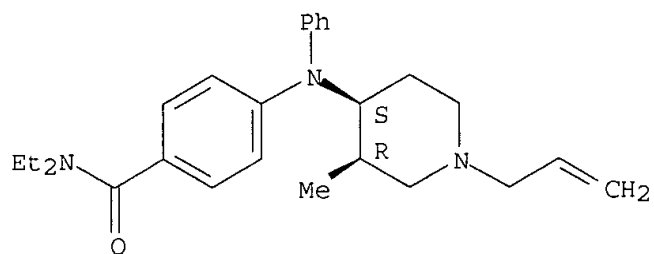
09/623,872



RN 229478-44-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3R,4S)-3-methyl-1-(2-propenyl)-4-piperidinyl]phenylamino]-, rel- (9CI) (CA INDEX NAME)

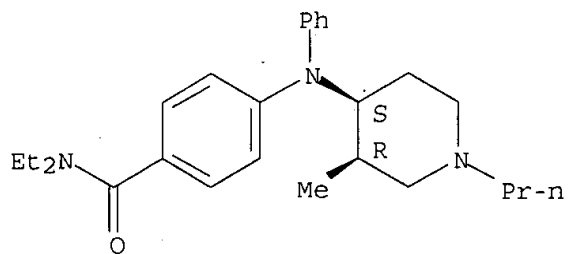
Relative stereochemistry.



RN 229478-45-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3R,4S)-3-methyl-1-propyl-4-piperidinyl]phenylamino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

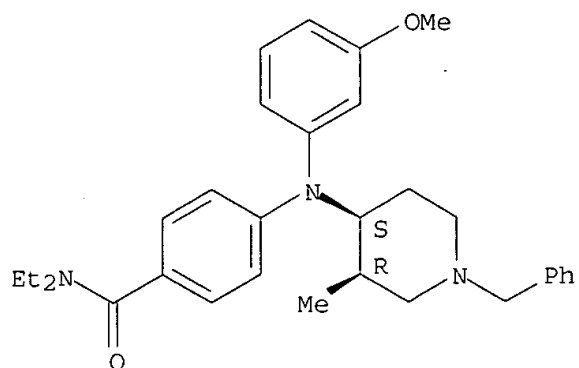


RN 229478-46-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[(3R,4S)-3-methyl-1-(phenylmethyl)-4-piperidinyl]amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

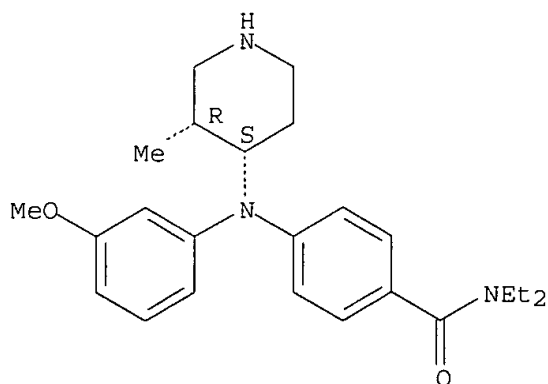
09/623,872



RN 229478-47-1 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[(3R,4S)-3-methyl-4-piperidinyl]amino]-, rel- (9CI) (CA INDEX NAME)

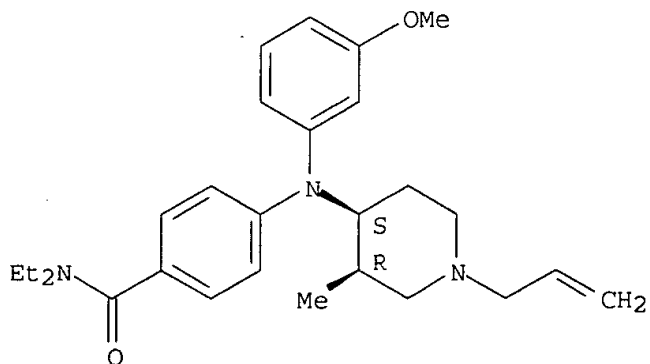
Relative stereochemistry.



RN 229478-48-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[(3R,4S)-3-methyl-1-(2-propenyl)-4-piperidinyl]amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

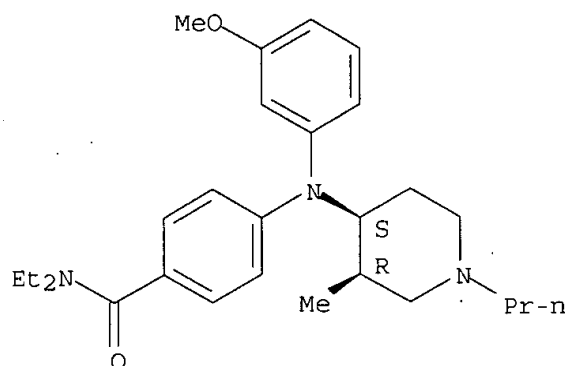


RN 229478-50-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[(3R,4S)-3-methyl-1-propyl-4-piperidinyl]amino]-, rel- (9CI) (CA INDEX NAME)

09/623,872

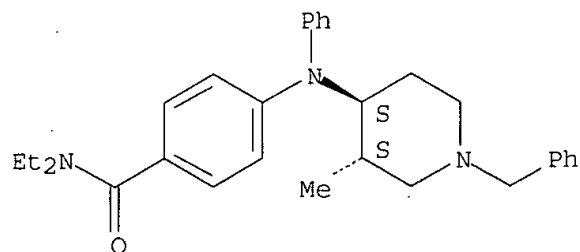
Relative stereochemistry.



RN 229478-52-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3R,4R)-3-methyl-1-(phenylmethyl)-4-piperidiny]phenylamino]-, rel- (9CI) (CA INDEX NAME)

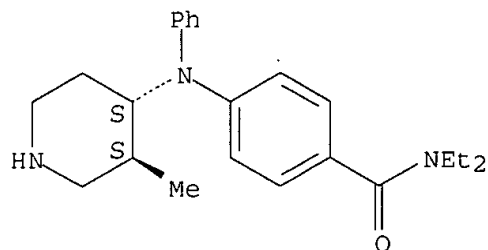
Relative stereochemistry.



RN 229478-55-1 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3R,4R)-3-methyl-4-piperidiny]phenylamino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

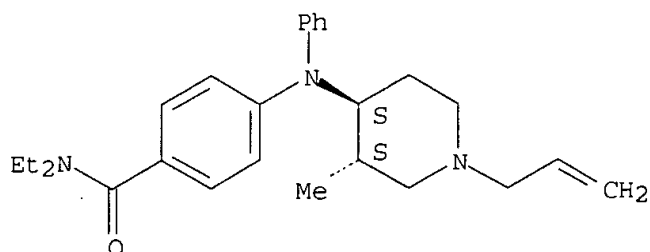


RN 229478-56-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3R,4R)-3-methyl-1-(2-propenyl)-4-piperidiny]phenylamino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

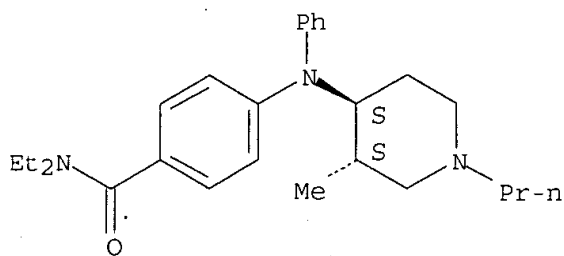
09/623,872



RN 229478-57-3 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3R,4R)-3-methyl-1-propyl-4-piperidinyl]phenylamino]-, rel- (9CI) (CA INDEX NAME)

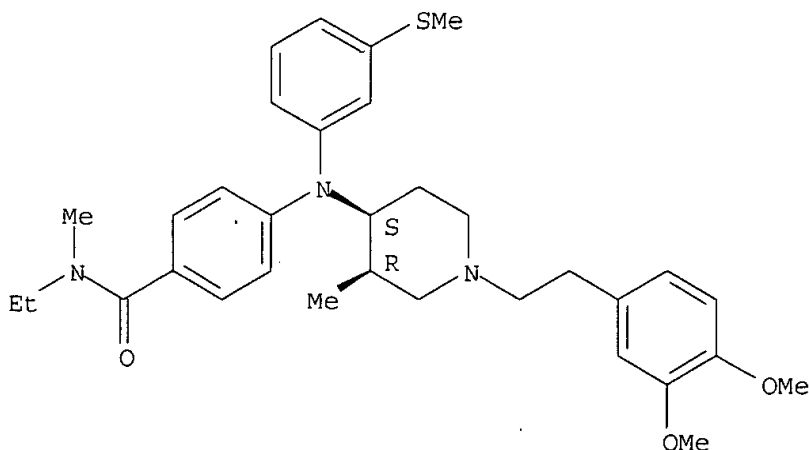
Relative stereochemistry.



RN 229478-71-1 CAPLUS

CN Benzamide, 4-[[[(3R,4S)-1-[2-(3,4-dimethoxyphenyl)ethyl]-3-methyl-4-piperidinyl][3-(methylthio)phenyl]amino]-N-ethyl-N-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

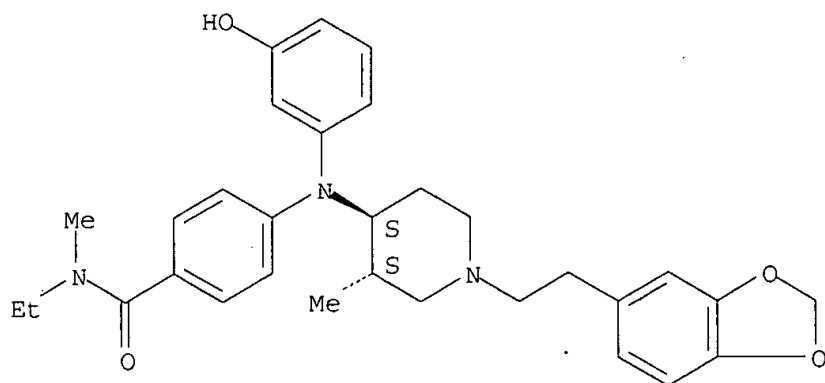


RN 229478-74-4 CAPLUS

CN Benzamide, 4-[[[(3R,4R)-1-[2-(1,3-benzodioxol-5-yl)ethyl]-3-methyl-4-piperidinyl][3-hydroxyphenyl]amino]-N-ethyl-N-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

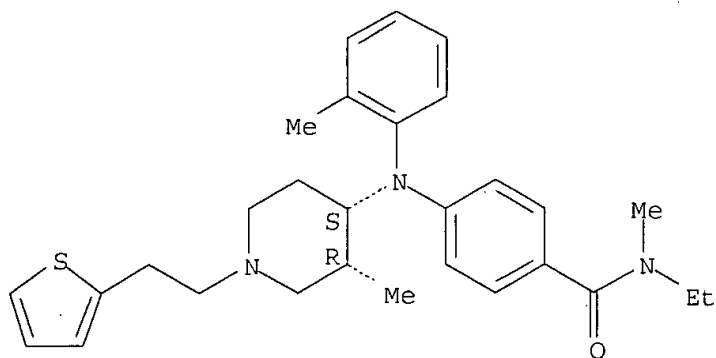
09/623,872



RN 229478-80-2 CAPLUS

CN Benzamide, N-ethyl-N-methyl-4-[(2-methylphenyl)[(3R,4S)-3-methyl-1-[2-(2-thienyl)ethyl]-4-piperidinyl]amino]-, rel- (9CI) (CA INDEX NAME)

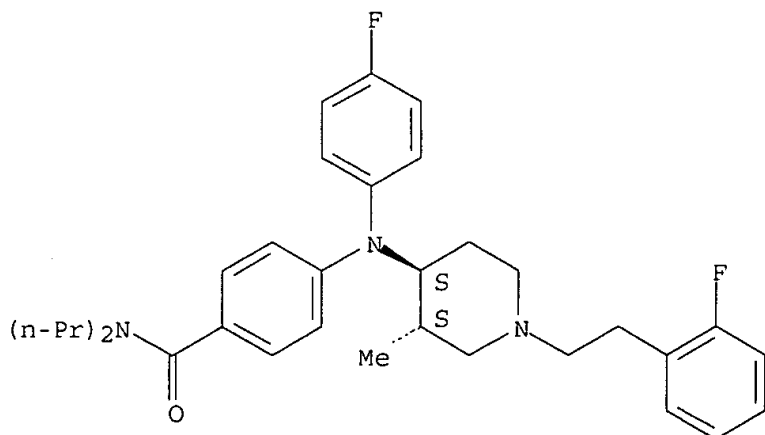
Relative stereochemistry.



RN 229478-83-5 CAPLUS

CN Benzamide, 4-[(4-fluorophenyl)[(3R,4R)-1-[2-(2-fluorophenyl)ethyl]-3-methyl-4-piperidinyl]amino]-N,N-dipropyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



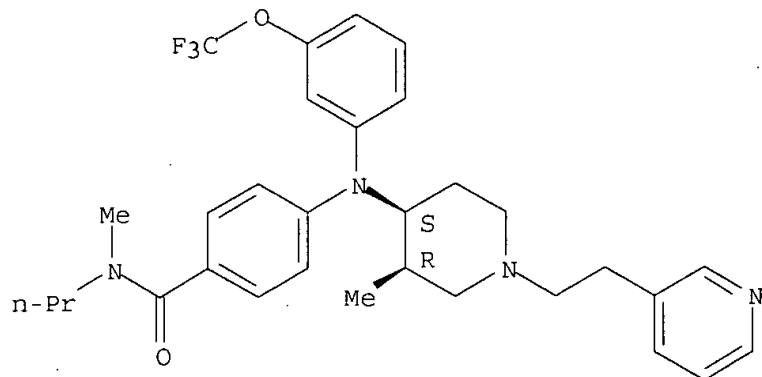
RN 229478-86-8 CAPLUS

CN Benzamide, N-methyl-4-[(3R,4S)-3-methyl-1-[2-(3-pyridinyl)ethyl]-4-

09/623,872

piperidinyl] [3-(trifluoromethoxy)phenyl]amino] -N-propyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 10:12:00 ON 09 FEB 2004)

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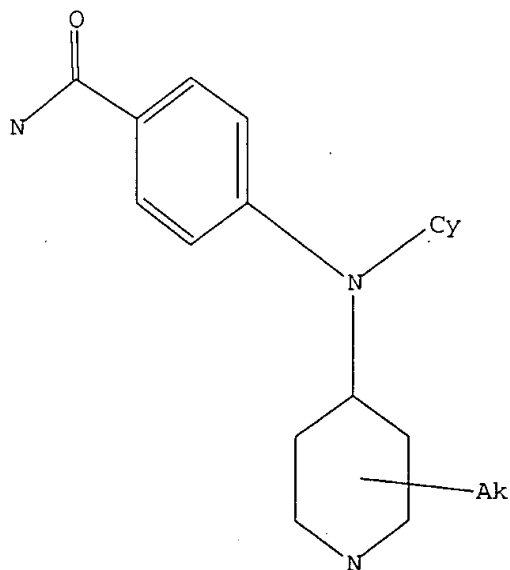
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L4 9 S L3

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L1 HAS NO ANSWERS

L1 STR



09/623,872

Structure attributes must be viewed using STN Express query preparation.

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